

REMARKS

Claims 1-13 are pending. No new matter has been added by way of the present amendments. For instance, claims 1 and 8 have been amended to supplement the "Y" missing from formula I and IIIa, respectively. Support for these amendments can be found in the claims as originally filed. Newly added claim 10 finds support in the present specification, for instance, reference is made to page 3, lines 12-14. Newly added claim 11 is supported by the originally filed specification, for instance reference is made to page 2, lines 38-41. Newly added claims 12 and 13 are supported by originally filed claim 1. Accordingly, no new matter has been added.

In view of the following remarks, Applicants respectfully request that the Examiner withdraw all rejections and allow the currently pending claims.

Issues under 35 U.S.C. §112, second paragraph

The Examiner has rejected claims 1-9 under 35 U.S.C. §112, second paragraph for the reasons recited at page 2 of the outstanding Office Action. In particular, the Examiner has pointed out omissions with respect to substituent "Y" in compounds I and IIIa in claims 1 and 8, respectively.

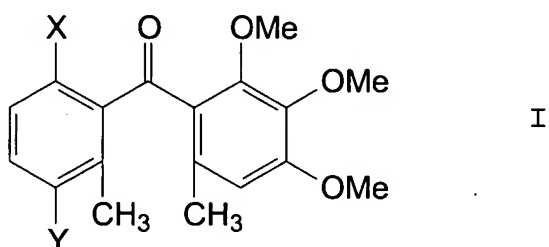
Applicants traverse and submit that the formulas in these claims have been corrected. Accordingly, this rejection is moot. Reconsideration and withdrawal thereof are respectfully requested.

Issues under 35 U.S.C. §103(a)

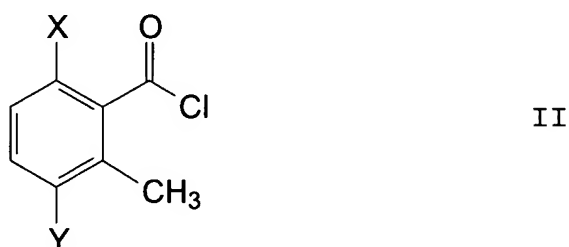
The Examiner has rejected claims 1-9 under 35 U.S.C. §103(a) as being obvious over Curtze et al., USP 5,945,567 (hereinafter referred to as Curtze '567) in view of Rose et al., USP 6,576,595 (hereinafter referred to as Rose '595) and Rains et al., USP 5,476,970 (hereinafter referred to as Rains '970). Applicants respectfully traverse this rejection.

The Present Invention and Its Advantages

Independent claim 1 relates to a process for preparing benzophenones of the formula I,



where X may be chlorine, hydroxyl, methoxy or C₁-C₆-alkylcarbonyloxy, and Y may be chlorine or bromine, by reacting an acid chloride of the formula II,



where X and Y are as defined above with 3,4,5-trimethoxytoluene, which comprises carrying out the reaction in the presence of

- a) an aromatic hydrocarbon selected from the group of: chlorobenzene, benzonitrile and nitrobenzene as a diluent and

- b) from 0.01 to 0.2 mol% of an iron catalyst, based on the acid chloride,
- c) at a temperature between 60°C and the boiling point of the particular diluent.

To highlight only a few aspects, Applicants draw the Examiner's attention to the fact that the present process for the preparation of benzophenones of formula I, starts from 3,4,5-trimethoxytoluene and an acid chloride of formula II. Additionally, the following three limitations apply:

- (a) chlorobenzene, benzonitrile or nitrobenzene is used as a diluent,
- (b) an iron catalyst in an amount of from 0.01 to 0.2 mol% based on the acid chloride is present, and
- (c) the reaction temperature is between 60°C and the boiling point of the diluent.

By fulfilling each of these process parameters, it has been surprisingly found within the framework of the present invention, that substantial advantages can be achieved in the preparation of benzophenones, such as shorter reaction times at high yields. A further advantage of the inventive process is that, in contrast to known processes, it is possible to only synthesize the wanted triclinic form of the compounds. Known processes result in unwanted mixtures from usually two modifications (see present application, page 4, lines 16 to 19).

Distinctions Between the Present Invention and the Cited Art

Taking into account the above aspects of the present invention. Applicants respectfully submit that there exist significant patentable distinctions between the present invention and the cited art. That is, the cited art, whether taken individually or as a whole as suggested by the

Examiner, fails to suggest or disclose the present invention. Thus, here exists no *prima facie* case of obviousness to arrive at the presently claimed subject matter.

For instance, Curtze '567 generally disclose at column 6, lines 35-50 that Friedel-Crafts' acylation can be carried out using FeCl_3 in a molar ratio (based on the benzoyl chloride) of 0.001 to 0.2 at temperatures of 50 to 180°C. The solvents suggested by Curtze '567 are, for example, ethylene chloride, methylene chloride, benzene, octane, decane or solvent mixtures. In contrast to Curtze '567, the diluents used according to the present invention are three specific aromatic solvents, namely chlorobenzene, benzotrifluoride or nitrobenzene. This is a first distinction.

Furthermore, according to the present invention, the iron catalyst is used in amounts of from 0.01 to 0.2 mol% based on the acid chloride, corresponding to a molar ratio of from 0.0001 to 0.002. Thus, the amount of FeCl_3 that is to be used according to Curtze '567 varies within a very broad range, whereas the present invention uses an amount of FeCl_3 within a specific and comparably narrow range at the lower end of the amounts given in Curtze '567. No motivation is provided by Curtze '567 to select the very specific range of iron catalyst required by the present claims. This represents a second distinction.

Also, although not required for patentability over the cited art, Applicants draw the Examiner's attention to newly added claims 10 and 11. Please note that the amount of "0.03 to 0.1 mol%" in claim 10 corresponds to a molar ratio of "0.0003 to 0.001." Thus, the highest amount of catalyst permitted by present claim 10 falls at the very minimum of that generically discussed in Curtze '567. Similarly, new claim 11 requires an amount of catalyst of less than 0.1 mol% (molar ratio of less than 0.001) and thus is distinct from Curtze '567 for reasons similar to claim 10.

Based upon the above distinctions alone, which, as will be explained below, are not cured by the secondary references, there exists no *prima facie* case of obviousness. However, even if the Examiner has hypothetically established a *prima facie* case of obviousness, a point not conceded by Applicants, the present invention still achieves unexpectedly superior advantages compared to the prior art. Thus, any hypothetical *prima facie* case of obviousness is moot.

Concerning the unexpectedly superior results of the present invention, Applicants point out that Curtze '567 fails to suggest or disclose that the use of specific solvents and specific amounts of iron catalyst compared to acid chloride, would provide advantageous results. Further, the Examiner cannot simply ignore the present advantages as resulting from optimization of reaction conditions. In fact, there is not a single example in Curtze '567 using FeCl_3 in an acylation reaction. Therefore, one of skill in the art would not even consider optimizing the reaction conditions with regard to the amounts of FeCl_3 .

The Examiner has attempted to supplement the deficiencies of Curtze '567 with the disclosures of Rose '595 and Rains '970. Applicants take issue with the combination of references as suggested by the Examiner.

Even if one of ordinary skill in the art thought to take the disclosure of Rose '595 into account, even when combined with Curtze '567, the necessary information would still not be present in order to arrive at the present invention. Applicants point out that Rose '595 is directed to xanthone derivatives, their uses and their preparation. In column 2, Rose '595 discloses a possible synthesis route for precursors of the xanthone derivatives, wherein compounds II and compounds III are reacted in an acylation reaction to result in compounds of formula IV. For this reaction, Rose '595 generally propose a temperature range, a long list of Lewis acids and

also a long list of various solvents that can be used. Furthermore, it is generally stated that the Lewis acids can be used in catalytic amounts or equimolar or in excess. According to Rose '595 suitable solvents are aliphatic hydrocarbons or aromatic hydrocarbons.

Within the myriad of solvents listed, Rose '595 includes nitrobenzene and chlorobenzene. However, Rose '595 fails to provide any guidance to those of skill in the art that these aromatic hydrocarbons would be specifically suitable. Applicants remind the Examiner that the fact that a claimed product is within the broad field of the prior art and one might arrive at it by selecting specific items and conditions does not render the product obvious in the absence of some directions or reasons for making such selection. Ex parte Kuhn, 132 USPQ 359 (POBA 1961). Thus, without specific guidance, the Examiner cannot simply select a specific solvent (or other parameters), while ignoring others, to arrive at an aspect of the present invention.

Further, Rose '595 fails to provide one of skill in the art with any guidance as to which of the reaction parameters should be selected from the huge amount of possibilities and how these parameters should be combined in order to result in the process of the present invention and to achieve advantageous results. To pick and choose among different aspects of the prior art references, such as selecting aspects which are desirable to the rejection and discarding those which are not, is improper. In fact, such a manner of rejection simply results in an "obvious to try" standard of rejection. However, it has been clearly established that "obvious to try" is not a valid test of patentability. In re Mercier, 185 USPQ 774 (CCPA 1975); see also Hybritech Inc. v. Monoclonal Antibodies, 231 USPQ 81 (Fed. Cir. 1986).

The Examiner also cites Rains '970 with regard to the stripping of the hydrochloric acid produced by the acylation process. This step is an optional process step contained in dependent

claim 4. As discussed starting at page 2, last paragraph of the present specification, the use of the particular solvents as defined in claim 1 makes it possible to remove the hydrochloric acid formed in the acylation reaction by stripping using an inert gas. This is a great advantage over conventional processes such as the one disclosed in Curtze '567.

As admitted by the Examiner, the stripping procedure according to the present invention is different from the one told in Rains '970. Furthermore, the fact that the Examiner needs to pick the different features of the inventive process from three references and subsequently, those of skill in the art must still has to employ "general" knowledge regarding the use of an inert gas for stripping clearly demonstrates that it is not possible to arrive at the subject matter of claim 4 starting from the prior art without being inventive.

Additionally, Applicants take note that the Examiner has not taken a specific position with regard to the dependent claims not discussed above and simply rejects them without further explanation. With regard to the dependent claims, Applicants submit that there exists additionally distinguishing subject matter.

For example in claim 3, a certain way of charging the reactants is claimed, wherein 3,4,5-trimethoxytoluene is initially charged and then the acid chloride and the catalyst are added. Please note that carrying out the inventive process by initially charging 3,4,5-trimethoxytoluene and by metering in the acid chloride together with the iron catalyst leads to particularly good results. Usually, the acylation is carried out the other way around, i.e., by initially charging the acid chloride, leading to less selectivity and less yield of the reaction, see present application on page 3, lines 21 to 27 and example 7 in comparison to examples 1 to 6. This represents an additional distinction according to the present invention.

To summarize, Applicants respectfully submit that there exists significant patentable distinctions between the present invention and the cited art. First, Applicants have already pointed out the deficiencies between the disclosure of the prior art references taken as a whole and independent claim 1. Based upon these distinctions alone, Applicants respectfully submit that there exists no *prima facie* case of obviousness. Second, the Examiner cannot simply pick and choose among a group of different references only those aspects which would render the present invention allegedly *prima facie* obviousness. To do otherwise would allow for the application of an "obvious to try" standard. Third, even if the Examiner has hypothetically established a *prima facie* case of obviousness, a point not conceded by Applicants, Applicants direct the Examiner's attention to the unexpectedly superior results achieved by the present invention. It has been surprisingly discovered that according to the present invention, preparation of benzophenones can be achieved with shorter reaction times and high yields. Further, as discussed above, according to the present invention, as compared to known processes, it is possible to synthesize only the wanted triclinic form of the compounds, whereas known processes result in unwanted mixtures. These results are completely unexpected based upon the prior art and thus rebut any hypothetical *prima facie* case of obviousness.

If the Examiner has any questions or comments, please contact Craig A. McRobbie, Registration No 42,874 at the offices of Birch, Stewart, Kolasch & Birch, LLP.


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Docket No.: 5000-0124PUS1

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or credit any overpayment to our Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. § 1.16 or under § 1.17; particularly, extension of time fees.

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Respectfully submitted,

f By  #42-874

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